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Welcome to STN International		
NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
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NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/Cplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IFC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INFADOCDB
NEWS 23	MAR 06	INFADOCDB and INFAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 26	MAR 20	CAS databases on STN enhanced with new super role

NEWS 27 MAR 23 for nanomaterial substances  
NEWS 27 MAR 23 CA/Cplus enhanced with more than 250,000 patent  
equivalents from China  
NEWS 28 MAR 30 IMSPATENTS reloaded and enhanced  
NEWS 29 APR 03 CAS coverage of exemplified prophetic substances  
enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 08:05:31 ON 06 APR 2009

=> file reg  
COST IN U.S. DOLLARS  
SINCE FILE  
ENTRY  
SESSION  
TOTAL  
0.22  
0.22

FILE 'REGISTRY' ENTERED AT 08:05:36 ON 06 APR 2009  
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STRUCTURE FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2  
DICTIONARY FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009

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<http://www.cas.org/support/stn/gen/stndoc/properties.html>

=>  
Uploading C:\Program Files\STNEXP\Queries\10551737 R5 aryl R7 and R8 ring.str



chain nodes :  
7 8 12 13 14 17 19  
ring nodes :  
1 2 3 4 5 6 10 11 20  
chain bonds :  
4-17 5-7 7-8 8-20 10-11 11-12 12-13 12-14 17-19  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 10-20  
exact/norm bonds :  
4-17 5-7 7-8 10-11 10-20 12-13 12-14 17-19  
exact bonds :  
8-20 11-12  
normalized bonds :  
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G1:C,O,S

G2:O,S

G3:Cb,Cy,Hy

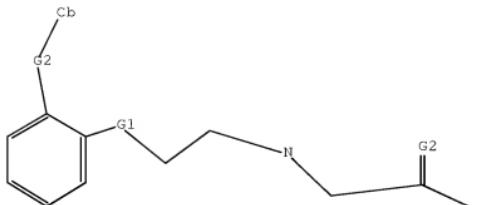
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS  
12:CLASS 13:CLASS 14:CLASS 17:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 C,O,S  
 G2 O,S  
 G3 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> file caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.48	0.70

FILE 'CAPLUS' ENTERED AT 08:05:53 ON 06 APR 2009  
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15  
 FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11 SSS full  
 REGISTRY INITIATED  
 Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:05:56 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 22641 TO ITERATE

100.0% PROCESSED 22641 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.02

L2 0 SEA SSS FUL L1

L3 0 L2

=> file marpat  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
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0.50 187.58

FILE 'MARPAT' ENTERED AT 08:06:03 ON 06 APR 2009  
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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009  
DE 102007039155 19 FEB 2009  
EP 2022798 11 FEB 2009  
JP 2009035500 19 FEB 2009  
WO 2009024087 26 FEB 2009  
GB 2451715 11 FEB 2009  
FR 2920023 20 FEB 2009  
RU 2346937 20 FEB 2009  
CA 2618420 24 JAN 2009

The new MARPAT User Guide is now available at:  
<http://www.cas.org/support/stngen/stndoc/marpat.html>.

=> s L1 SSS full  
FULL SEARCH INITIATED 08:06:06 FILE 'MARPAT'  
FULL SCREEN SEARCH COMPLETED - 82559 TO ITERATE

57.4% PROCESSED	47429 ITERATIONS	30 ANSWERS
85.3% PROCESSED	70422 ITERATIONS	55 ANSWERS
96.0% PROCESSED	79293 ITERATIONS	73 ANSWERS
98.5% PROCESSED	81313 ITERATIONS ( 2 INCOMPLETE)	78 ANSWERS

99.7% PROCESSED 82304 ITERATIONS ( 2 INCOMPLETE) 80 ANSWERS  
99.7% PROCESSED 82304 ITERATIONS ( 2 INCOMPLETE) 80 ANSWERS  
99.9% PROCESSED 82473 ITERATIONS ( 3 INCOMPLETE) 81 ANSWERS  
100.0% PROCESSED 82559 ITERATIONS ( 3 INCOMPLETE) 81 ANSWERS  
SEARCH TIME: 00.02.06

L4 81 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
132.42 320.00

FILE 'CAPLUS' ENTERED AT 08:08:24 ON 06 APR 2009  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15  
FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L4  
L5 81 L4  
  
=> S L5 AND PY<=2003  
24034941 PY<=2003  
L6 35 L5 AND PY<=2003  
  
=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2003:892617 CAPLUS Full-text  
DOCUMENT NUMBER: 139:358786  
TITLE: Treatment of diabetes and diabetic complications with sodium-hydrogen exchanger type 1 (NHE-1) inhibitors

INVENTOR(S): Tracey, Wayne Ross; Treadway, Judith Lee  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092694	A1	20031113	WO 2003-IB1639	20030422 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2483927	A1	20031113	CA 2003-2483927	20030422 <--
AU 2003219421	A1	20031117	AU 2003-219421	20030422 <--
EP 1499317	A1	20050126	EP 2003-715232	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009707	A	20050209	BR 2003-9707	20030422
MX 2004008646	A	20041206	MX 2004-8646	20040906
PRIORITY APPLN. INFO.:			US 2002-380028P	P 20020502
			WO 2003-IB1639	W 20030422

OTHER SOURCE(S): MARPAT 139:358786

AB The invention provides methods for treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. The invention also provides combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, the combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury, diabetic microangiopathy and/or diabetic macroangiopathy.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

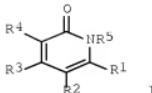
L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:892447 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:358784  
 TITLE: Treatment of diabetes and diabetic complications with NHE-1 inhibitors  
 INVENTOR(S): Tracey, W. Ross; Treadway, Judith L.  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 27 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030212104	A1	20031113	US 2003-428521	20030501 <--
PRIORITY APPLN. INFO.:			US 2002-380028P	P 20020502
OTHER SOURCE(S):	MARPAT 139:358784			

AB This invention relates to methods of treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. This invention also relates to combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, said combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury, diabetic microangiopathy and/or diabetic macroangiopathy.

L6 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:570960 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:133472  
 TITLE: Preparation of pyridones as modulators of nuclear receptors, including liver X receptor (LXR).  
 INVENTOR(S): Bayne, Christopher D.; Johnson, Alan T.; Lu, Shao-po; Mohan, Raju; Griffith, Ronald C.  
 PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 545 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059884	A1	20030724	WO 2002-US41306	20021220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469435	A1	20030724	CA 2002-2469435	20021220 <--
AU 2002351412	A1	20030730	AU 2002-351412	20021220 <--
EP 1465869	A1	200401013	EP 2002-787071	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005536450	T	20051202	JP 2003-559988	20021220
PRIORITY APPLN. INFO.:			US 2001-342707P	P 20011221
OTHER SOURCE(S):	MARPAT 139:133472			
GI			WO 2002-US41306	W 20021220



AB Title compds. (I; R1 = (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl, cycloalkyl, cycloalkenyl, cycloalkynyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl, alkylaminocarbonyl, CJR30; R4 = H, (substituted) alkyl, alkenyl, alkynyl, halo, pseudohalo, CO2H, CJR30, CJNR31R32, CH2NR31R32, CH2OR31, CR30:CR31R32, NO2, NR31R32; R3R4 = atoms to form (substituted) heterocyclyl containing  $\leq$  1 oxo; R5 = (substituted) alkyl, heterocyclyl, aryl, aralkyl, heteroaralkyl, N:CR6R7, NR9R10; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, heteroaralkyl; R6R7, R9R10 = (substituted) alkylene, alkenylene, alkynylene, (CH2)xX(CH2)y; x, y = 1-3; X = O, S, NR8; R8 = (substituted) alkyl, alkenyl, alkynyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl; R9, R10 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl; R30 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, (hetero)aryl, aralkyl, heteroaralkyl; R31, R32 = R30, CJR35; R31R32 = atoms to form (substituted) cycloalkyl, heterocyclyl, heteroaryl; J = O, S, NR40; R35 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, alkoxy, aralkoxy, (di)alkylamino, arylalkylamino, diarylamino; R40 = H, (substituted) alkyl, (hetero)aryl, were prepared Thus, 4,4-*trifluoro-1-phenyl-1,3-butanedione*, *cyanoacetohydrazine*, and *diisopropylethylamine* were stirred in EtOH at 80° for 3 h to give 1-amino-2-oxo-6-phenyl-4-trifluoromethyl-1,2-dihydriopyridine-3-carbonitrile. The latter with cyclohexanone and trifluoroacetic acid were shaken in PhH in a sealed vial at 85° for 2 h to give 1-cyclohexylideneamino-2-oxo-6-phenyl-4-trifluoromethyl-1,2-dihydriopyridine-3-carbonitrile. This showed binding affinity for LXR $\alpha$  and LXR $\beta$  receptors with  $K_i = 0.69 \mu\text{M}$  and  $0.45 \mu\text{M}$ , resp.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:473266 CAPLUS Full-text  
 DOCUMENT NUMBER: 139:309862  
 TITLE: Use of retinoid receptor antagonists or agonists in the treatment of cartilage and bone pathologies  
 INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 464,344.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030114482	A1	20030619	US 2000-552823	20000420 <--

US 6313168	B1	20011106	US 1999-464344	19991215 <--
EP 1645271	A1	20060412	EP 2005-24409	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CA 2407021	A1	20011101	CA 2001-2407021	20010419 <--
WO 2001080894	A2	20011101	WO 2001-US12742	20010419 <--
WO 2001080894	A3	20020725		
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EP 1274456	A2	20030115	EP 2001-928654	20010419 <--
EP 1274456	B1	20041229		
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JP 2003531180	T	20031021	JP 2001-577990	20010419 <--
AT 285794	T	20050115	AT 2001-928654	20010419
AU 2001255488	B2	20060727	AU 2001-255488	20010419
HK 1053053	A1	20050610	HK 2003-105084	20030714
AU 2006233216	A1	20061116	AU 2006-233216	20061027
PRIORITY APPLN. INFO.:			US 1999-464344	A2 19991215
			US 2000-552823	A 20000420
			EP 2000-986336	A3 20001213
			WO 2001-US12742	W 20010419

OTHER SOURCE(S): MARPAT 139:30862

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

L6 ANSWER 5 OF 35	CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:	2003:319918 CAPLUS Full-text
DOCUMENT NUMBER:	138:338316
TITLE:	Preparation of pelorol derivatives as SHIP 1 modulators
INVENTOR(S):	Andersen, Raymond; Williams, David E.; Mui, Alice; Ong, Christopher; Krystal, Gerald
PATENT ASSIGNEE(S):	The University of British Columbia, Can.
SOURCE:	PCT Int. Appl., 26 pp.
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003033517	A1	20030424	WO 2002-CA1550	20021017 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2463136 A1 20030424 CA 2002-2463136 20021017 <--

AU 2002331507 A1 20030428 AU 2002-331507 20021017 <--

CA 2502293 A1 20040429 CA 2003-2502293 20030423

WO 2004035601 A1 20040429 WO 2003-CA571 20030423

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003218843 A1 20040504 AU 2003-218843 20030423

EP 1554304 A1 20050720 EP 2003-714589 20030423

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006506363 T 20060223 JP 2004-543854 20030423

US 20040266865 A1 20041230 US 2004-825858 20040416

US 20080090909 A1 20080417 US 2007-871086 20071011

PRIORITY APPLN. INFO.:

US 2001-329506P P 20011017

AU 2002-331507 A3 20021017

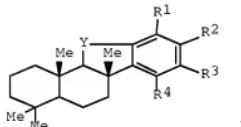
WO 2002-CA1550 W 20021017

WO 2003-CA571 W 20030423

US 2004-825858 A3 20040416

OTHER SOURCE(S):  
GI

MARPAT 138:338316



AB The present invention includes the use of pelorol and related sesquiterpene compds., e.g. of formula I [Y = CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; R<sub>1</sub>-R<sub>4</sub> = H, OH, alkoxy, alkoxy carbonyl, CH<sub>2</sub>OH, etc.], as modulators of SHIP 1 activity. This invention also provides novel sesquiterpene compds. capable of modulating SHIP 1 activity and methods of synthesis thereof. No examples are given. The effect of pelorol on macrophage nitric oxide production is measured.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

DOCUMENT NUMBER: 138:304302  
 TITLE: Preparation of amidine-substituted polycyclic compound prodrugs useful for selective inhibition of serine proteases of the coagulation cascade  
 INVENTOR(S): South, Michael S.; Webber, Ronald K.; Huang, Horng-chih; Toth, Mihaly V.; Moormann, Alan E.; Snyder, Jeffrey S.; Scholten, Jeffrey A.; Garland, Danny J.; Rueppel, Melvin L.; Neumann, William L.; Long, Scott; Wei, Huang; Trujillo, John; Parlow, John J.; Jones, Darin E.; Case, Brenda; Hayes, Michael J.; Zeng, Qingping  
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
 SOURCE: PCT Int. Appl., 547 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003028729	A2	20030410	WO 2002-US31468	20021003 <--
WO 2003028729	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2462601	A1	20030410	CA 2002-2462601	20021003 <--
AU 2002337805	A1	20030414	AU 2002-337805	20021003 <--
US 20030162776	A1	20030828	US 2002-263936	20021003 <--
US 7105559	B2	20060912		
CA 2462645	A1	20031113	CA 2002-2462645	20021003 <--
WO 2003093242	A2	20031113	WO 2002-US31770	20021003 <--
WO 2003093242	A3	20040429		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002367752	A1	20031117	AU 2002-367752	20021003 <--
US 20040082585	A1	20040429	US 2002-263418	20021003
EP 1432687	A2	20040630	EP 2002-807360	20021003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013129	A	20040810	BR 2002-13129	20021003
BR 2002013099	A	20041019	BR 2002-13099	20021003
EP 1482940	A2	20041208	EP 2002-773700	20021003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

JP 2005509606	T	20050414	JP 2003-532061	20021003
JP 2005525413	T	20050825	JP 2004-501381	20021003
MX 2004003169	A	20040708	MX 2004-3169	20040402
MX 2004003170	A	20040708	MX 2004-3170	20040402
US 20050239860	A1	20051027	US 2005-159684	20050623
US 20050267123	A1	20051201	US 2005-159877	20050623
PRIORITY APPLN. INFO.:				
			US 2001-326721P	P 20011003
			US 2001-338623P	P 20011024
			US 2001-332857P	P 20011106
			US 2001-344957P	P 20011107
			US 2001-350052P	P 20011107
			US 2001-333292P	P 20011114
			US 2001-331891P	P 20011121
			US 2001-332014P	P 20011121
			US 2001-332104P	P 20011121
			US 2001-332107P	P 20011121
			US 2002-263637	A1 20021003
			US 2002-263936	A1 20021003
			WO 2002-US31468	W 20021003
			WO 2002-US31770	W 20021003

OTHER SOURCE(S): MARPAT 138:304302  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to prodrug compds., comprising a 5- or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine (shown as I and II; variables defined below; e.g. N-[4-((Z)-amino(pyridin-2-ylmethoxy)imino)methyl]benzyl]-2-[6-[3-amino-5-(trifluoromethyl)phenyl]-3-(isopropylamino)-2-oxopyrazin-1(2H)-yl]acetamide (shown as III)), as well as compns. and methods useful for preventing and treating thrombotic conditions in mammals. The prodrug compds. of the present invention selectively inhibit certain serine proteases of the coagulation cascade (no data). For I: X = 5- or 6-membered heterocyclic or aromatic ring, the ring atoms being X1, X2, X3, X4, and X5 for 5-membered heterocyclic rings and X1, X2, X3, X4, X5 and X6 for 6-membered heterocyclic or aromatic rings, wherein X2 is alpha to each of X1 and X3, X3 is alpha to each of X2 and X4, X4 is alpha to each of X3 and X5, X5 is alpha to X4 and alpha to X1 if X is a 5-membered ring or to X6 if X is a 6-membered ring, and X6, when present, is alpha to each of X1 and X5, wherein X1, X2, X3, X4, X5 and X6 are C, N, O or S. L1, L3 and L4 are linkages through which Z1, Z3, and Z4, resp., are covalently bonded to different ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of X, wherein Z1 is covalently bonded to X1, Z3 is covalently bonded to X3, and Z4 is covalently bonded to X4, each of L1, L3 and L4 independently being a covalent bond or comprising  $\geq 1$  atoms through which Z1, Z3, and Z4 are covalently bonded to X1, X3 and X4, resp. Z1 is hydrocarbyl or substituted hydrocarbyl; Z3 = 5- or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination yields an amidine group, and optionally further substituted with a halogen or hydroxy, the ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of Z3 being C, S, N, or O. Z4 = 5- or 6-membered heterocyclic or carbocyclic ring having two substituents, R42 and R44, and two ring atoms each of which is in the beta position relative to the ring atom of Z4 through which Z4 is covalently bonded to X, wherein one of R42 and R44 is covalently bonded to one of said beta positions and the other of R42 and R44 is covalently bonded to the other of said beta positions, the ring atoms of the 5- or 6-membered heterocyclic or carbocyclic ring of Z4 being C, N, O, or S. R42 is amino; and

R44 = H, hydrocarbyl, substituted hydrocarbyl, heterocyclo, halogen, or a (un)substituted heteroatom = N, O, S and P; provided, however, that the derivatized amidine is other than amidine derivatized with tert-butoxycarbonyl. For II: each of X1, X2, X3, X4, X5 and X6 is C or N; X2 is a H bond acceptor; X9 is a direct bond or -(CH<sub>2</sub>)<sub>m</sub>- where m is 1 to 5. The metabolic stability and/or bioavailability of .apprx.20 examples of I/II are tabulated. Although the methods of preparation are not claimed, .apprx.160 example preps. are included.

L6 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2003:150646 CAPLUS Full-text  
DOCUMENT NUMBER: 138:195820  
TITLE: Rinse-processing composition for processing silver  
halide color photographic material, processing  
apparatus and processing method  
INVENTOR(S): Seki, Hiroyuki  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 55 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1286214	A1	20030226	EP 2002-18919	20020823 <--
EP 1286214	B1	20080312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 20040043340	A1	20040304	US 2002-226180	20020823
US 7163783	B2	20070116		
PRIORITY APPLN. INFO.:			JP 2001-253095	A 20010823
			US 2002-226180	T 20020823

OTHER SOURCE(S): MARPAT 138:195820  
AB A rinse-processing composition of the present invention comprises a compound represented by R-(OC<sub>2</sub>H<sub>4</sub>)<sub>n</sub>-OH, (R = C<sub>8</sub>-13 alkyl; n = 10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing apparatus using such a rinse-processing composition

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2003:129387 CAPLUS Full-text  
DOCUMENT NUMBER: 138:164054  
TITLE: Methods and compounds for the use of retinoic acid  
antagonists and inverse agonists as male  
anti-fertility agents  
INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,  
Roshantha A.  
PATENT ASSIGNEE(S): Allergan, Inc., USA  
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748,  
abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6521641	B1	20030218	US 2000-591253	20000609 <--
US 20030144256	A1	20030731	US 2002-304665	20021125 <--
US 20070054882	A1	20070308	US 2006-503635	20060814
PRIORITY APPLN. INFO.:			US 1998-103507P	P 19981008
			US 1999-405748	B2 19990927
			US 2000-591253	A1 20000609
			US 2002-304665	B1 20021125

OTHER SOURCE(S): MARPAT 138:164054

AB This continuation-in-part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RAR $\alpha$ , RAR $\beta$  and/or RAR $\gamma$ . Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:40166 CAPLUS Full-text

DOCUMENT NUMBER: 138:89576

TITLE: Preparation of benzenesulfonamides as antagonists of TXA2 and 5-HT2 receptors, process for their preparation, pharmaceutical compositions containing them and therapeutic uses such as platelet aggregation inhibitors

INVENTOR(S): Lavielle, Gilbert; Dubuffet, Thierry; Cimetiere, Bernard; Verbeuren, Tony; Simonet, Serge; Vayssettes-Courchay, Christine

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

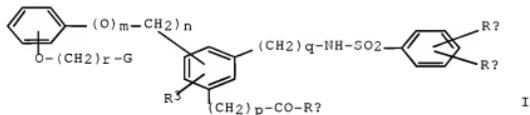
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1275641	A2	20030115	EP 2002-291747	20020711 <--
EP 1275641	A3	20030514		
EP 1275641	B1	20050112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
FR 2827280	A1	20030117	FR 2001-9339	20010713 <--
FR 2827280	B1	20031031		
AT 286877	T	20050115	AT 2002-291747	20020711
PT 1275641	T	20050531	PT 2002-291747	20020711
ES 2234994	T3	20050701	ES 2002-291747	20020711
MX 2002006853	A	20050725	MX 2002-6853	20020711
NO 2002003390	A	20030114	NO 2002-3390	20020712 <--
HU 2002002284	A2	20030228	HU 2002-2284	20020712 <--
HU 2002002284	A3	20050228		
ZA 2002005597	A	20030327	ZA 2002-5597	20020712 <--
US 6541471	B1	20030401	US 2002-195018	20020712 <--
JP 2003113156	A	20030418	JP 2002-203408	20020712 <--
JP 3770858	B2	20060426		
BR 2002002685	A	20030506	BR 2002-2685	20020712 <--

AU 2002300092	A1	20030612	AU 2002-300092	20020712 <--
AU 2002300092	B2	20070712		
NZ 520141	A	20031128	NZ 2002-520141	20020712 <--
CA 2393995	A1	20030113	CA 2002-2393995	20020715 <--
CA 2393995	C	20080610		
CN 1397547	A	20030219	CN 2002-124162	20020715 <--
CN 1186318	C	20050126		
HK 1050675	A1	20050513	HK 2003-102799	20030417
PRIORITY APPLN. INFO.:			FR 2001-9339	A 20010713
OTHER SOURCE(S):	MARPAT	138:89576		
GI				



AB Benzenesulfonamides (shown as I; variables defined below; e.g. 3-[3-[2-[(4-chlorophenyl)sulfonyl]amino]ethyl]-5-[2-[2-[3-(dimethylamino)propoxy]phenyl]ethyl]phenyl]propanoic acid (example 2)), methods for their preparation, pharmaceutical compns. and therapeutic uses as antagonists of TXA2 and 5-HT2 receptors are claimed. Example 2 exhibits IC50 values for inhibition of platelet aggregation induced by TXA2 and that produced by 5-hydroxytryptamine of 3.3 and 0.96  $\mu$ M. Eighteen example preps. of I and 3 of intermediates are included. Example 2 was prepared via intermediates tert-Bu (2E)-3-[3-[ (E)-2-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]-5-[ (E)-2-(2-hydroxyphenyl)ethyl]phenyl]-2-propenoate, tert-Bu 3-[3-[2-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]-5-[2-(2-hydroxyphenyl)ethyl]phenyl]propanoate, tert-Bu 3-[3-[2-[3-(Dimethylamino)propoxy]phenyl]ethyl]-5-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)ethyl]phenyl]propanoate, tert-Bu 3-[3-(2-Aminoethyl)-5-[2-[2-[3-(dimethylamino)propoxy]phenyl]ethyl]phenyl]propanoate, and tert-Bu 3-[3-[2-[2-[3-(Dimethylamino)propoxy]phenyl]ethyl]-5-[2-[phenylsulfonyl]amino]ethyl]phenyl]propanoate. In I: G = NR1R2 where R1 and R2 = H, alkyl, cycloalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, or heteroarylalkyl, or, R1 and R2 together form with N atom a heterocycloalkyl group with 5-7 members in which one ring member other than the N of NR1R2 = N, O or CH2 and a ring substituent R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylcarbonyl, arylcarbonylalkyl, diarylalkyl, diarylalkenyl, heteroaryl, heteroarylalkyl, heteroarylcarbonyl, or heteroarylcarbonylalkyl. R3 = H, alkyl, or phenyl; Ra = hydroxy, alkoxy, aryloxy, arylalkyloxy, amino, alkylamino, dialkylamino, arylamino, arylalkylamino; Rb and Rc, same or different, = H, halogen, alkyl, alkoxy, hydroxy or trihaloalkyl; m = 0-1; n = 0-6; p and r = 1-6; their enantiomers, diastereoisomers as well as their salts of addition of a pharmaceutically acceptable acid or base are also included.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:868719 CAPLUS Full-text  
 DOCUMENT NUMBER: 137:346211  
 TITLE: Methods of treating hyperlipidemia by using retinoids as antagonists or inverse agonist of a retinoid receptor  
 INVENTOR(S): Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.; Chandraratna, Roshantha A.  
 PATENT ASSIGNEE(S): Allergan, Inc., USA  
 SOURCE: PCT Int. Appl., 56 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089781	A2	20021114	WO 2002-US13253	20020426 <--
WO 2002089781	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 20020193403	A1	20021219	US 2001-848159	20010503 <--
CA 2445504	A1	20021114	CA 2002-2445504	20020426 <--
AU 2002259030	A1	20021118	AU 2002-259030	20020426 <--
EP 1392284	A2	20040303	EP 2002-729013	20020426
EP 1392284	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532239	T	20041021	JP 2002-586918	20020426
EP 1920771	A2	20080514	EP 2007-22682	20020426
EP 1920771	A3	20080723		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
AT 406159	T	20080915	AT 2002-729013	20020426
US 20050171151	A1	20050804	US 2004-16534	20041217
US 20080214652	A1	20080904	US 2008-72629	20080227
PRIORITY APPLN. INFO.:			US 2001-848159	A 20010503
			EP 2002-729013	A3 20020426
			WO 2002-US13253	W 20020426
			US 2004-16534	B1 20041217

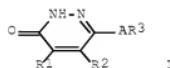
OTHER SOURCE(S): MARPAT 137:346211  
 AB The current invention relates to methods for treating hyperlipidemia in mammals, including humans. More specifically, the current invention relates to the use of retinoid or retinoid derivative that is able to act as an antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:849441 CAPLUS Full-text  
 DOCUMENT NUMBER: 137:353048  
 TITLE: Combinations of pyridazinone aldose reductase

inhibitors and cyclooxygenase-2 inhibitors  
INVENTOR(S): Mylari, Banavara Lakshman  
PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
SOURCE: PCT Int. Appl., 101 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087584	A1	20021107	WO 2002-IB643	20020225 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CA 2445871	A1	20021107	CA 2002-2445871	20020225 <--
AU 2002236131	A1	20021111	AU 2002-236131	20020225 <--
AU 2002236131	B2	20050414		
HU 2003003920	A2	20040301	HU 2003-3920	20020225
HU 2003003920	A3	20040728		
EP 1392310	A1	20040303	EP 2002-702611	20020225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1505514	A	20040616	CN 2002-809037	20020225
JP 2004528344	T	20040916	JP 2002-584929	20020225
NZ 528150	A	20050324	NZ 2002-528150	20020225
TW 228415	B	20050301	TW 2002-91104376	20020308
US 20050004124	A1	20050106	US 2002-137472	20020430
ZA 2003007204	A	20040915	ZA 2003-7204	20030915
US 20040198740	A1	20041007	US 2004-810880	20040325
PRIORITY APPLN. INFO.:			US 2001-287524P	P 20010430
			WO 2002-IB643	W 20020225
			US 2002-137472	A3 20020430

OTHER SOURCE(S): MARPAT 137:353048  
GI



AB Pharmaceutical compns. and kits comprise pyridazinones I [A = S, S(O), SO2; R1, R2 = H, Me; R3 = heterocyclic, heterocyclylalkyl, amino, CH2CH(OH)Ar, CH2COAr, arylamino, aralkyl; Ar = (un)substituted Ph, naphthyl] and cyclooxygenase-2 inhibitors for treatment or prevention of certain complications arising from diabetes mellitus and cardiac tissue ischemia in mammals (no data). Thus, 2-mercaptoindole was treated with 2-chloro-6-

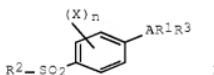
methoxyppyridazine, followed by oxidation to the sulfone and demethylation to give 6-(indole-2-sulfonyl)-2H-pyridazin-3-one.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2002:811992 CAPLUS Full-text  
DOCUMENT NUMBER: 137:310913  
TITLE: Preparation of fluoro-substituted benzenesulfonyl pyrazoles and isoxazoles for the treatment of cyclooxygenase-2 mediated disorders such as inflammation  
INVENTOR(S): Brown, David L.; Graneto, Matthew J.; Ludwig, Cindy L.; Molyneaux, John M.; Talley, John J.  
PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
SOURCE: Eur. Pat. Appl., 171 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1251126	A2	20021023	EP 2002-8273	20020419 <--
EP 1251126	A3	20021030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20030032657	A1	20030213	US 2002-124209	20020416 <--
US 6673818	B2	20040106		
US 20030149078	A1	20030807	US 2002-319916	20021213 <--
US 6699884	B2	20040302		
US 20040138261	A1	20040715	US 2003-734829	20031212
PRIORITY APPLN. INFO.:			US 2001-285264P	P 20010420
			US 2002-124209	A1 20020416
			US 2002-319916	A1 20021213

OTHER SOURCE(S): MARPAT 137:310913  
GI



AB Fluoro-substituted benzenesulfonyl compds. (shown as I (e.g. 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole), or a pharmaceutically-acceptable salt, tautomer or prodrug thereof) for treating cyclooxygenase-2 mediated disorders such as inflammation are described. In I, A is a 5- or 6-member ring substituent selected from partially saturated or unsatd. heterocyclic and carbocyclic rings; X is fluoro; n ≥ 2; R1 is cyclohexyl, pyridinyl, or Ph, optionally substituted with 1-3 radicals selected from Cl-2-alkyl, Cl-2-haloalkyl, cyano, carboxy, Cl-2-alkoxycarbonyl, hydroxy, Cl-2-hydroxylalkyl, Cl-2-haloalkoxy, amino, Cl-2-alkylamino, phenylamino, nitro, Cl-2-alkoxy-Cl-2-alkyl, Cl-2-alkylsulfinyl,

halo, C1-2-alkoxy and C1-3-alkylthio; R2 is alkyl or amino. R3 represents ≥1 radicals selected from hydroido, halo, C1-2-alkyl, C2-3-alkenyl, C2-3-alkynyl, oxo, cyano, carboxy, cyano-C1-3-alkyl, heterocyclyloxy, C1-3-alkoxy, C1-3-alkylthio, alkylcarbonyl, cycloalkyl, Ph, C1-3-haloalkyl, heterocyclyl, cycloalkenyl, phenyl-C1-3-alkyl, heterocyclyl-C1-3-alkyl, C1-3-alkylthio-C1-3-alkyl, C1-3-hydroxylalkyl, C1-3-alkoxycarbonyl, phenylcarbonyl, phenyl-C1-3-alkylcarbonyl, phenyl-C2-3-alkenyl, C1-3-alkoxy-C1-3-alkyl, phenylthio-C1-3-alkyl, phenoxyalkyl, alkoxyphenylalkoxyalkyl, alkoxy carbonylalkyl, aminocarbonyl, aminocarbonyl-C1-3-alkyl, C1-3-alkylaminocarbonyl, N-phenylaminocarbonyl, N-(C1-3-alkyl)-N-phenylaminocarbonyl, C1-3-alkylaminocarbonyl-C1-3-alkyl, carboxy-C1-3-alkyl, C1-3-alkylamino, N-arylamino, N-arylamino, N-(C1-3-alkyl)-N-arylamino, N-(C1-3-alkyl)-N-arylamino, amino-C1-3-alkyl, C1-3-alkylaminoalkyl, N-phenylamino-C1-3-alkyl, N-phenyl-C1-3-alkylaminoalkyl, N-(C1-3-alkyl)-N-(phenyl-C1-3-alkyl)amino-C1-3-alkyl, N-(C1-3-alkyl)-N-phenylamino-C1-3-alkyl, phenoxy, phenylalkoxy, phenylthio, phenyl-C1-3-alkylthio, C1-3-alkylsulfonfyl, C1-3-alkylsulfonyl, aminosulfonfyl, C1-3-alkylaminosulfonfyl, N-phenylaminosulfonfyl, phenylsulfonfyl, and N-(C1-3-alkyl)-N-phenylaminosulfonfyl. The selective inhibition of COX-2 compared to COX-1 is reported for 10 examples of I; e.g. 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3-(trifluoromethyl)-1H-pyrazole shows IC50 values of 0.09 and >100 μM, resp. Although the methods of preparation are not claimed, 15 example preps. are included and hundreds of pyrazoles and isoxazoles are listed in the claims.

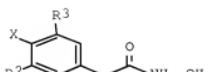
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:793584 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 137:310696  
 TITLE: Preparation of N-hydroxyphenylacetamides as peptide deformylase inhibitors  
 INVENTOR(S): Bhat, Ajita; Christensen, Siegfried B., IV; Fraze, James S.; Head, Martha S.; Leber, Jack Dale; Li, Mei  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: PCT Int. Appl., 22 pp.  
 CODEN: PIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081426	A1	20021017	WO 2002-US10506	20020404 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002252585	A1	20021021	AU 2002-252585	20020404 <--
EP 1383729	A1	20040128	EP 2002-721667	20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004527530	T	20040909	JP 2002-579414	20020404
US 20040267015	A1	20041230	US 2003-473104	20030929
PRIORITY APPLN. INFO.:			US 2001-281613P	P 20010405

OTHER SOURCE(S):  
GI

MARPAT 137:310696



I

AB PDF inhibitors I [X = CO<sub>2</sub>(C1-6-alkyl), OR<sub>1</sub>, NR<sub>1</sub>R<sub>6</sub>, CONR<sub>1</sub>R<sub>6</sub>, C(:O)R<sub>6</sub>; R<sub>1</sub> = H, (un)substituted C1-6-alkyl, Ar-(C1-6-alkyl); R<sub>1</sub>R<sub>6</sub> = 5- or 6-membered cyclic system which may contain an O or (un)substituted N; R<sub>2</sub> = I, Br, Cl, CHMe<sub>2</sub>, CMe<sub>3</sub>; R<sub>3</sub> = H, I, Br, Cl, CHMe<sub>2</sub>, CMe<sub>3</sub>, ZR<sub>8</sub>; Z = O, N, NC(:O), C(:O)N, SO<sub>2</sub>N, CONHSO<sub>2</sub>, CH<sub>2</sub>; R<sub>6</sub> = H, Me; R<sub>8</sub> = (un)substituted C1-4-alkyl; Ar = (un)substituted Ph, furyl, pyridyl, thiienyl, thiazolyl, isothiazolyl, pyrazolyl, tetrazolyl, imidazolyl, benzofuranyl, indolyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, pyrrolyl, pyrimidinyl] and novel methods for their use are provided. Thus, I (X = OC<sub>6</sub>H<sub>4</sub>OH, R<sub>2</sub> = R<sub>3</sub> = I) was prepared from 3,5-diiodothyroacetic acid via esterification with MeOH containing H<sub>2</sub>SO<sub>4</sub> followed by amidation with NH<sub>2</sub>OH in aqueous dioxane. I was tested for PDF inhibition and antimicrobial activity (MIC = 0.06 - 64 mcg/mL).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2002:755213 CAPLUS Full-text

DOCUMENT NUMBER: 137:279206

TITLE: Preparation of sulfenyl, sulfinyl and sulfonyl pyridazinone aldose reductase inhibitors for treating/preventing diabetic complications

INVENTOR(S): Mylari, Banavara L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

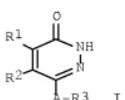
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020143017	A1	20021003	US 2002-104664	20020321 <--
US 6579879	B2	20030617		
CA 2442476	A1	20021010	CA 2002-2442476	20020131 <--
WO 2002079198	A1	20021010	WO 2002-IB320	20020131 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

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 BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002226634 A1 20021015 AU 2002-226634 20020131 <--  
 AU 2002226634 B2 20070125  
 EP 1373259 A1 20040102 EP 2002-716247 20020131  
 EP 1373259 B1 20041229  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 EE 200300470 A 20040216 EE 2003-470 20020131  
 HU 2003003644 A2 20040301 HU 2003-3644 20020131  
 HU 2003003644 A3 20080630  
 BR 2002008571 A 20040323 BR 2002-8571 20020131  
 NZ 528406 A 20040326 NZ 2002-528406 20020131  
 CN 1500087 A 20040526 CN 2002-807600 20020131  
 CN 1215067 C 20050817  
 JP 2004528319 T 20040916 JP 2002-577823 20020131  
 EP 1491540 A1 20041229 EP 2004-23149 20020131  
 EP 1491540 B1 20061213  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 EP 1491541 A1 20041229 EP 2004-23150 20020131  
 EP 1491541 B1 20070124  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 AT 286049 T 20050115 AT 2002-716247 20020131  
 PT 1373259 T 20050331 PT 2002-716247 20020131  
 ES 2231681 T3 20050516 ES 2002-716247 20020131  
 DE 60202452 C5 20061123 DE 2002-60202452 20020131  
 AT 348100 T 20070115 AT 2004-23149 20020131  
 AT 352551 T 20070215 AT 2004-23150 20020131  
 ES 2274369 T3 20070516 ES 2004-23149 20020131  
 TW 245762 B 20051221 TW 2002-91106386 20020329  
 US 20030162784 A1 20030828 US 2003-370895 20030220 <--  
 US 6849629 B2 20050201  
 ZA 2003004671 A 20040625 ZA 2003-4671 20030617  
 IN 2003MN00639 A 20050318 IN 2003-MN639 20030624  
 BG 108179 A 20040930 BG 2003-108179 20030917  
 NO 2003004345 A 20030929 NO 2003-4345 20030929 <--  
 MX 2003008850 A 20031204 MX 2003-8850 20030929 <--  
 HK 1061678 A1 20051104 HK 2004-104538 20040624  
 US 20050113381 A1 20050526 US 2004-968759 20041018  
 PRIORITY APPLN. INFO.: US 2001-280051P P 20010330  
 EP 2002-716247 A3 20020131  
 WO 2002-IB320 W 20020131  
 US 2002-104664 A3 20020321  
 US 2003-370895 A3 20030220

OTHER SOURCE(S):  
GI

MARPAT 137:279206



AB The present invention relates to novel pyridazinone compds. (shown as I; variables partially described below; e.g. 6-(2-indolylsulfonyl)-2H-pyridazin-3-one), pharmaceutical compns. comprising those compds. and to methods of using such compds. and compns. to inhibit aldose reductase, lower sorbitol levels and, thus, lower fructose levels, and/or treat or prevent diabetic complications such as diabetic neuropathy, diabetic retinopathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic microangiopathy and diabetic macroangiopathy in mammals. This invention also relates to methods of affording cardioprotection to subjects not suffering from diabetes. This invention also relates to pharmaceutical compns. and kits comprising a combination of an aldose reductase inhibitor (ARI) of this invention and a sorbitol dehydrogenase inhibitor and to methods of using such compns. or kits to treat or prevent the above diabetic complications in mammals. This invention also relates to other combinations with the ARIs of this invention, including combinations with adenosine agonists; NHE-1 inhibitors; glycogen phosphorylase inhibitors; selective serotonin reuptake inhibitors; GABA agonists; antihypertensive agents; 3-hydroxy-3-methylglutaryl CoA reductase inhibitors; phosphodiesterase-5 inhibitors; and to glucose lowering agents. In I, A is S, SO or SO<sub>2</sub>; R1 and R2 are each independently H or Me; R3 is heteroaryl, -CHR<sub>4</sub>(heteroaryl) or NR<sub>6</sub>R<sub>7</sub>; R4 is H or (C1-C3)alkyl; R6 is (C1-C6)alkyl, aryl or heteroaryl; R7 is heteroaryl. No pharmacol. data is included. Although the methods of preparation are not claimed, .apprx.50 example preps. are included.

L6 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:754366 CAPLUS Full-text  
 DOCUMENT NUMBER: 1371279197  
 TITLE: Preparation of five-membered heterocyclic alcanoic acid derivatives as remedies for diabetes and hyperlipidemia  
 INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Imoto, Hiroshi; Odaka, Hiroyuki; Kimura, Hiroyuki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 165 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076959	A1	20021003	WO 2002-JP2741	20020322 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002239023	A1	20021008	AU 2002-239023	20020322 <--
JP 2002348281	A	20021204	JP 2002-81621	20020322 <--
EP 1394154	A1	20040303	EP 2002-705433	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040063775	A1	20040401	US 2003-472159	20030922
US 7241785	B2	20070710		

PRIORITY APPLN. INFO.:

JP 2001-85572

A 20010323

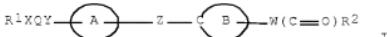
OTHER SOURCE(S):

MARPAT 137:279197

WO 2002-JP2741

W 20020322

GI



AB The title compds. I [R1 represents an optionally substituted five-membered heterocyclic group; X represents a bond, etc.; Q represents a C1-20 divalent hydrocarbon group; Y represents a bond, etc.; ring A represents an aromatic ring optionally having one to three substituents; Z represents (CH<sub>2</sub>)<sub>n</sub>Z1 (n is an integer of 0 to 8 and Z1 represents a bond, etc., etc.; ring B represents a five-membered heterocycle optionally having one to three substituents; W represents a C1-20 divalent saturated hydrocarbon group; and R2 represents OH, etc.] are prepared. A process for preparing I is disclosed. Compds. of this invention at 0.01% in feed given to diabetic mice for 4 days caused 43% to 42% decrease of blood sugar. Formulations are given.

REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:798081 CAPLUS Full-text

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080894	A2	20011101	WO 2001-US12742	20010419 <--
WO 2001080894	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20030114482	A1	20030619	US 2000-552823	20000420 <--
CA 2407021	A1	20011101	CA 2001-2407021	20010419 <--
EP 1274456	A2	20030115	EP 2001-928654	20010419 <--
EP 1274456	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2003531180	T	20031021	JP 2001-577990	20010419 <--
AT 285794	T	20050115	AT 2001-928654	20010419
AU 2001255488	B2	20060727	AU 2001-255488	20010419
HK 1053053	A1	20050610	HK 2003-105084	20030714
AU 2006233216	A1	20061116	AU 2006-233216	20061027
PRIORITY APPLN. INFO.:			US 2000-552823	A 20000420
			US 1999-464344	A2 19991215
			WO 2001-US12742	W 20010419

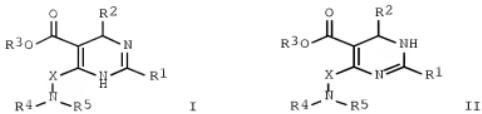
OTHER SOURCE(S): MARPAT 135:339297

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amounts of retinoid receptor antagonists or retinoid receptor agonists.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:693315 CAPLUS Full-text  
 DOCUMENT NUMBER: 135:242245  
 TITLE: Preparation of 6-aminoalkyl-2-heterocyclyl-4-phenyldihydropyrimidine-5-carboxylates as antiviral agents for treatment of hepatitis B infection.  
 INVENTOR(S): Goldmann, Siegfried; Stoltefuss, Juergen; Niewohner, Ulrich; Schlemmer, Karl-Heinz; Keldenich, Joerg; Paessens, Arnold; Graef, Erwin; Weber, Olaf; Deres, Karl  
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 85 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068641	A1	20010920	WO 2001-EP2443	20010305 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10013126	A1	20010920	DE 2000-10013126	20000317 <--
PRIORITY APPLN. INFO.:			DE 2000-10013126	A 20000317
OTHER SOURCE(S):	MARPAT 135:242245			
GI				



AB Title compds. I and II (R1 = (substituted) pyridyl, pyrimidyl, pyrazinyl, thiazolyl; R2 = (substituted) aryl, heteroaryl; R3 = (substituted) (O-, S-, interrupted) alkyl; R4 = (substituted) alkyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (interrupted) alkyl, heteroaryl, etc.; R4R5 = (substituted) (interrupted) cycloalkyl, etc.; X = (substituted) (O-interrupted) alkylene], were prepared. Thus, Me (R)-6-bromomethyl-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)-1,4-dihydropyrimidine-5-carboxylate (preparation given) was stirred with Na<sub>2</sub>CO<sub>3</sub> and 1-cyclopropylpiperazine dihydrochloride in MeOH for 2 h at room temperature to give 87.6% Me (R)-4-(2-chloro-4-fluorophenyl)-6-[(4-cyclopropyl-1-piperazinyl)methyl]-2-(2,3-difluoro-2-pyridinyl)-1,4-dihydropyrimidine-5-carboxylate. Several title compds. inhibited intra- or extracellular DNA of hepatitis B virus-producing Hep G2.2.15-cells with inhibited with IC<sub>50</sub> = 0.015-0.08  $\mu$ M.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:472731 CAPLUS Full-text

DOCUMENT NUMBER: 135:61439

TITLE: Phosphonic acid derivatives as inhibitors of protein tyrosine phosphatase 1B (PTP-1B)

INVENTOR(S): Leblanc, Yves; Dufresne, Claude; Gauthier, Jacques Yves; Lau, Cheuk Kun; Li, Chun Sing; Roy, Patrick; Therien, Michel; Scheitz, John; Wang, Zhaojun

PATENT ASSIGNEE(S): MERCK, MELCHIOR, SCHENKELGE, GOTT, MERCK FROSST CANADA & CO., CANADA

PATENT ASSIGNEE(S): MERCK FROSST CANADA & CO.  
SOURCE: PCT Int. Appl. - 101 pp.

SOURCE: [www.osti.gov](http://www.osti.gov)  
CITATION: [www.osti.gov](http://www.osti.gov)

DOCUMENT TYPE: **Patent**

DOCUMENT TYPE: Patent  
LANGUAGE: English

LANGUAGE:

FAMILY ACC. NUM. CO  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2001046206	A1	20010628	WO 2000-CA1550	20001221 <--		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	CA 2393367	A1	20010628	CA 2000-2393367	20001221 <--
US 20020058644	A1	20020516	US 2000-745211	20001221 <--		
US 6486142	B2	20021126				
EP 1244678	A1	20021002	EP 2000-986935	20001221 <--		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 JP 2003518130 T 20030603 JP 2001-547115 20001221 <--  
 PRIORITY APPLN. INFO.: US 1999-171520P P 19991222  
 WO 2000-CA1550 W 20001221

OTHER SOURCE(S): MARPAT 135:61439

AB Twenty-four antidiabetic and antiobesity title compds. were prepared by standard methods. Among the compds. prepared were: 2-bromo-4-(2-phenyl-2-(5-phenyl-1,2,4-oxadiazol-3-yl)ethyl)phenyl(difluoro)methylphosphonic acid and [(isopropoxycarbonyl)oxy]methyl hydrogen [2-bromo-4-(3-oxo-2,3-diphenyl)phenyl](difluoro)methyl phosphate. The invention also encompasses pharmaceutical compns. and methods of treating or preventing PTP-1B mediated diseases, including diabetes, obesity, and conditions related to diabetes.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:452848 CAPLUS Full-text

DOCUMENT NUMBER: 135:41045

TITLE: Use of retinoid receptor antagonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001043732	A2	20010621	WO 2000-US33697	20001213 <--
WO 2001043732	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6313168	B1	20011106	US 1999-464344	19991215 <--
CA 2394210	A1	20010621	CA 2000-2394210	20001213 <--
EP 1248602	A2	20021016	EP 2000-986336	20001213 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519103	T	20030617	JP 2001-544671	20001213 <--
AU 784189	B2	20060216	AU 2001-22593	20001213
EP 1645271	A1	20060412	EP 2005-24409	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRIORITY APPLN. INFO.:			US 1999-464344	A 19991215
			EP 2000-986336	A3 20001213
			WO 2000-US33697	W 20001213

OTHER SOURCE(S): MARPAT 135:41045

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor

antagonists. AG1-X2 ion exchange beads were soaked for 1 h in a solution of 4-[(5,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2-naphthalenyl)ethynyl]-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 *in vivo*. AGN 109-containing beads showed striking effects on humerus development.

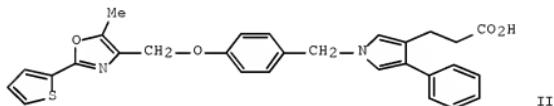
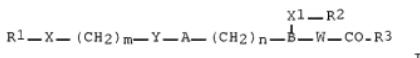
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:396864 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 135:19632  
 TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid derivatives with hypoglycemic and hypolipidemic activity  
 INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki; Kimura, Hiroyuki  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 375 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038325	A1	20010531	WO 2000-JP'877	20001109 <--
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IM, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2390923	A1	20010531	CA 2000-2390923	20001109 <--
JP 20011226350	A	20010821	JP 2000-347462	20001109 <--
JP 3723071	B2	20051207		
BR 2000015466	A	20020806	BR 2000-15466	20001109 <--
EP 1228067	A1	20020807	EP 2000-974857	20001109 <--
EP 1228067	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002003165	A2	20030128	HU 2002-3165	20001109 <--
HU 2002003165	A3	20040329		
JP 2003137865	A	20030514	JP 2002-315096	20001109 <--
NZ 519238	A	20031128	NZ 2000-519238	20001109 <--
AT 271049	T	20040715	AT 2000-974857	20001109
EP 1457490	A1	20040915	EP 2004-76508	20001109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PT 1228067	T	20041130	PT 2000-974857	20001109
ES 2225252	T3	20050316	ES 2000-974857	20001109
AU 780948	B2	20050428	AU 2001-13031	20001109
RU 2252939	C2	20050527	RU 2002-115263	20001109
CN 1260227	C	20060621	CN 2000-817467	20001109
NO 2002002108	A	20020708	NO 2002-2108	20020502 <--
MX 2002004647	A	20021031	MX 2002-4647	20020509 <--
US 7179823	B1	20070220	US 2002-129702	20020509
IN 2002KN00645	A	20050311	IN 2002-KNG45	20020513

ZA 2002003824	A 20031015	ZA 2002-3824	20020514 <--
HK 1045991	A1 20041210	HK 2002-106297	20020827
PRIORITY APPLN. INFO.:			
JP 1999-320317	A 19991110	JP 1999-352237	A 19991210
JP 1999-352236	A 19991210	EP 2000-974857	A3 20001109
JP 2000-347462	A3 20001109	WO 2000-JP7877	W 20001109

OTHER SOURCE(S): MARPAT 135:19632  
GI



AB Title compds. (I) [wherein R1 = (un)substituted hydrocarbon or heterocycle; X = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un)substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y = O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5-membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un)substituted hydrocarbon; R2 = H or (un)substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un)substituted hydrocarbon; R9 and R10 = independently H or (un)substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4-chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of 0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma anti-arteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPAR-RXR $\alpha$  heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:247339 CAPLUS Full-text  
 DOCUMENT NUMBER: 134:261289  
 TITLE: Azepinoindolone derivatives as poly(ADP-ribose) polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas;  
 Grandel, Roland; Mueller, Reinhold; Schult, Sabine  
 PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023390	A2	20010405	WO 2000-EP9024	20000915 <--
WO 2001023390	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19946289	A1	20010329	DE 1999-19946289	19990928 <--
DE 10039610	A1	20020228	DE 2000-10039610	20000809 <--
CA 2352194	A1	20010405	CA 2000-2352194	20000915 <--
BR 2000007174	A	20010904	BR 2000-7174	20000915 <--
EP 1183259	A2	20020306	EP 2000-974379	20000915 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001004917	A2	20020429	HU 2001-4917	20000915 <--
HU 2001004917	A3	20021228		
JP 2003510328	T	20030318	JP 2001-526542	20000915 <--
MX 2001005199	A	20020311	MX 2001-5199	20010524 <--
NO 2001002567	A	20010625	NO 2001-2567	20010525 <--
IN 2001CN00726	A	20050304	IN 2001-CN726	20010525
BG 105650	A	20020228	BG 2001-105650	20010626 <--
PRIORITY APPLN. INFO.:			DE 1999-19946289	A 19990928
			DE 2000-10039610	A 20000809
			WO 2000-EP9024	W 20000915

OTHER SOURCE(S): MARPAT 134:261280  
 AB Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs.  
 such as 2-(4-(4-n-propylpiperazin-1-yl)phenyl)-1,3,4,5-tetrahydro- 6H-  
 azepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase  
 inhibitors. The effectiveness of the title compds. in inhibiting poly(ADP-  
 ribose) polymerase was demonstrated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2001:78220 CAPLUS Full-text  
 DOCUMENT NUMBER: 134:125939  
 TITLE: The use of retinoid receptor antagonists in the  
 treatment of prostate carcinoma  
 INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey  
 PATENT ASSIGNEE(S): Allergan Sales, Inc., USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007028	A2	20010201	WO 2000-US19849	20000721 <--
WO 2001007028	A3	20010830		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-145287P P 19990723

OTHER SOURCE(S): MARPAT 134:125939

AB Methods for treating prostate cancer comprise administering a therapeutically effective amount of a retinoid receptor antagonist. In addition, the invention provides methods of inhibiting the growth of a prostate carcinoma cell or tumor, the method comprising contacting the cell or tumor with an effective amount of a retinoid receptor antagonist.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:63991 CAPLUS Full-text

DOCUMENT NUMBER: 134:115959

TITLE: Preparation of novel 4,4-diphenylpiperidines for the treatment of chemokine receptor related diseases and conditions

INVENTOR(S): Baxter, Andrew John Gilby; Brough, Stephen John; McInally, Thomas

PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

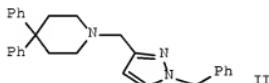
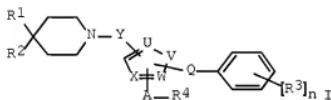
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005782	A1	20010125	WO 2000-GB2756	20000718 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2378084	A1	20010125	CA 2000-2378084	20000718 <--
BR 2000012610	A	20020409	BR 2000-12610	20000718 <--
EP 1202984	A1	20020508	EP 2000-946134	20000718 <--
EP 1202984	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505383	T	20030212	JP 2001-511441	20000718 <--

AT 233754	T	20030315	AT 2000-946134	20000718 <--
NZ 516606	A	20030926	NZ 2000-516606	20000718 <--
AU 771344	B2	20040318	AU 2000-60016	20000718
CN 1152873	C	20040609	CN 2000-810670	20000718
US 6566376	B1	20030520	US 2000-623744	20000908 <--
ZA 2001010540	A	20030324	ZA 2001-10540	20011221 <--
NO 2002000282	A	20020321	NO 2002-282	20020118 <--
MX 2002000671	A	20020702	MX 2002-671	20020118 <--
PRIORITY APPLN. INFO.:			SE 1999-2765	A 19990721
			WO 2000-GB2756	W 20000718

OTHER SOURCE(S): MARPAT 134:115959  
GI



AB The title compds. [I]; R1, R2 = (un)substituted Ph; R3 = halo, NO<sub>2</sub>, alkyl, etc.; n = 0-3; R4 = H, OH, NR10R11; A = CO, CH<sub>2</sub>, a bond; Q = alkylene; U, W and X = (un)substituted C; N; V = (un)substituted N, O; Y = alkylene, CO; R10, R11 = H, alkyl, unsatd. alkyl, etc.; NR10R11 = (un)substituted 4-8 membered saturated azacyclic ring) and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a 2-step synthesis of 4,4-diphenylpiperidine II was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:240931 CAPLUS Full-text

DOCUMENT NUMBER: 132:274821

TITLE: Male antifertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

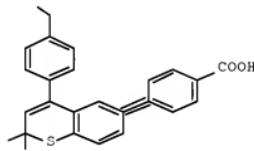
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000019990	A2	20000413	WO 1999-US22222	19990924 <--

WO 2000019990 A3 20000720  
 W: AU, CA, JP  
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
 PT, SE  
 CA 2346687 A1 20000413 CA 1999-2346687 19990924 <--  
 AU 9961623 A 20000426 AU 1999-61623 19990924 <--  
 AU 757448 B2 20030220  
 EP 1119350 A2 20010801 EP 1999-948451 19990924 <--  
 EP 1119350 B1 20050223  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 JP 2002526405 T 20020820 JP 2000-573351 19990924 <--  
 AT 289507 T 20050315 AT 1999-948451 19990924  
 PRIORITY APPLN. INFO.: US 1998-103507P P 19981008  
 WO 1999-US22222 W 19990924

OTHER SOURCE(S): MARPAT 132:274821  
 GI



I

AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1999:426849 CAPLUS Full-text  
 DOCUMENT NUMBER: 131:73436  
 TITLE: Preparation of 4-[(3-phenoxyphenyl)ethynyl]benzoates and analogs as retinoic acid receptor ligands  
 INVENTOR(S): Song, Tae K.; Teng, Min; Chandraratna, Roshantha A.  
 PATENT ASSIGNEE(S): Allergan Sales, Inc., USA  
 SOURCE: U.S., 30 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919970	A	19990706	US 1997-840040	19970424 <--
US 6187950	B1	20010213	US 1999-267992	19990312 <--
US 6455701	B1	20020924	US 2000-708972	20001108 <--

US 20030109687	A1	20030612	US 2002-212386	20020805 <--
US 6660755	B2	20031209		
PRIORITY APPLN. INFO.:			US 1997-840040	A3 19970424
			US 1999-267992	A3 19990312
			US 2000-708972	A3 20001108

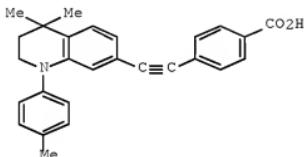
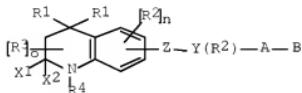
OTHER SOURCE(S): MARPAT 131:73436

AB Y3XY1ZY2AB [I; A = bond, alkenylene, alkynylene, etc.; B = H, CO2H, alkoxycarbonyl, CH2OH, etc.; X = CH2, O, NH, SOO-2, etc.; Z = C.tpbond.C, N:N, N:CH, CONH, etc.; Y1 = (addnl. substituted) phenylene, heteroarylene, etc. having alkyl, 1-adamantyl, alkoxy, etc. as substituent; Y2 = (un)substituted (hetero)arylene; Y3 = (un)substituted (hetero)aryl] were prepared. Thus, 3-BrC6H4OH was alkylated by Me3CHOH and the product etherified by 4-IC6H4CF3 to give, in 2 addnl. steps, 4-(F3C)C6H4OY1C.tpbond.CR (Y1 = 2-tert-butyl-1,5-phenylene)(II; R = H) which was arylated by 4-IC6H4(CO2Et)-4 (preparation given) to give II [R = C6H4(CO2Et)-4]. Data for biol. activity of I were given.

REFERENCE COUNT: 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1998:226847 CAPLUS Full-text  
 DOCUMENT NUMBER: 128:228789  
 ORIGINAL REFERENCE NO.: 128:55979a,55982a  
 TITLE: Preparation of N-aryl substituted tetrahydroquinolines having retinoid agonist, retinoid antagonist or retinoid inverse agonist type biological activity  
 INVENTOR(S): Beard, Richard L.; Teng, Min; Colon, Diana F.; Duong, Tien T.; Chandraratna, Roshantha A.  
 PATENT ASSIGNEE(S): Allergan, USA  
 SOURCE: U.S., 21 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5739338	A	19980414	US 1996-744210	19961105 <--
CA 2270893	A1	19980514	CA 1997-2270893	19971029 <--
CA 2270893	C	20081021		
WO 9819999	A1	19980514	WO 1997-US19915	19971029 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JE, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KB, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9851011	A	19980529	AU 1998-51011	19971029 <--
AU 729997	B2	20010222		
EP 937045	A1	19990825	EP 1997-913959	19971029 <--
EP 937045	B1	20040428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001504458	T	20010403	JP 1998-521637	19971029 <--
AT 265436	T	20040515	AT 1997-913959	19971029
ES 2219760	T3	20041201	ES 1997-913959	19971029



AB The title compds. [I; R1 = H, C1-6 alkyl; R2 = C1-6 alkyl, F, Cl, Br, I; n = 0-3; R3 = C1-6 alkyl, F; X1, X2 = H, C1-6 alkyl; X1X2 = O; R4 = (un)substituted Ph, naphthyl, thiienyl, etc.; Z = C.tplbond.C;(CR1:CR1)n (n = 0-5), CONR1; NR1CO; Y = (un)substituted Ph, naphthyl, heteroaryl; A = (CH2)<sup>q</sup> (q = 0-5), C3-6 alkyl, C3-6 cycloalkyl, etc.; B = H, COOH, CH2OH, etc.] having retinoid, retinoid antagonist or retinoid inverse agonist-like biol. activity, were prepared. Thus, reaction of 4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)-7-ethynylquinoline (preparation described) with Et 4-iodobenzoate in the presence of Et3N, CuI and PdCl2(Ph3P)2 followed by hydrolysis of the resulting Et 4-(2-(4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)quinolin-7-yl)ethynyl)benzoate with aqueous LiOH in THF/MeOH afforded the title compound II which showed Ki of 13 nM against RAR $\alpha$  binding.

REFERENCE COUNT: 117 THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:361630 CAPLUS Full-text

DOCUMENT NUMBER: 126:338623

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and analogs as protein tyrosine kinase inhibitors

INVENTOR(S): Cockerill, George Stuart; Guntrip, Stephen Barry; McKeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barracough, Paul; Franzmann, Karl Witold; et al.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart; Guntrip, Stephen Barry; McKeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

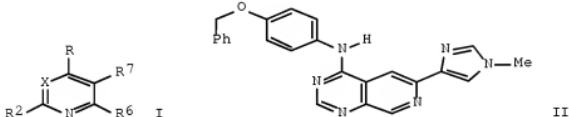
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9713771	A1	19970417	WO 1996-EP4399	19961010 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KB, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
AU 9672896	A	19970430	AU 1996-72896	19961010 <--
ZA 9608551	A	19970718	ZA 1996-8551	19961010 <--
EP 861253	A1	19980902	EP 1996-934612	19961010 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11513398	T	19991116	JP 1996-514711	19961010 <--
IN 1996DE02215	A	20050311	IN 1996-DE2215	19961010
US 6169091	B1	20010102	US 1998-51324	19980826 <--
PRIORITY APPLN. INFO.:			GB 1995-20845	A 19951011
			GB 1996-14757	A 19960713
			WO 1996-EP4399	W 19961010

OTHER SOURCE(S): MARPAT 126:330623

GI



AB Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thiienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SOO-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclic; Z1 = (un)substituted phenylene] were prepared. Thus, 4,6-dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and the product condensed with 5-triptyctylstannyl-N-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:205247 CAPLUS Full-text

DOCUMENT NUMBER: 126:205762

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and liquid-crystal composition and liquid-crystal display

element  
 INVENTOR(S): Kondo, Tomoyuki; Matsui, Shuichi; Hachiya, Norihisa;  
 Nakagawa, Etsuo  
 PATENT ASSIGNEE(S): Chisso Corp., Japan  
 SOURCE: PCT Int. Appl., 116 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705144	A1	19970213	WO 1996-JP2103	19960726 <--
W: CN, JP, KR, RW: AT, BE, CH,	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
CN 1195352	A	19981007	CN 1996-196782	19960726 <--
EP 872484	A1	19981021	EP 1996-925097	19960726 <--
EP 872484	B1	20021002		
R: AT, BE, CH,	DE, DK, ES, FR, GB, IT, LI, NL			
AT 225353	T	20021015	AT 1996-925097	19960726 <--
JP 3751640	B2	20060301	JP 1997-507462	19960726
US 5993690	A	19991130	US 1998-409	19980126 <--
PRIORITY APPLN. INFO.:			JP 1995-211211	A 19950727
			WO 1996-JP2103	W 19960726

OTHER SOURCE(S): MARPAT 126:205763

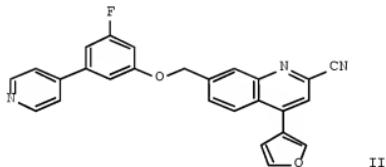
AB Organosilicon compds. represented by the general formula Ra-A-(Z1-Al)m-(Z2-A2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH<sub>2</sub> group; Ra = H or Cl-2 alkyl wherein at least one CH<sub>2</sub> group may be substituted by SiH<sub>2</sub>, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, A1, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH<sub>2</sub>)<sub>p</sub> wherein at least one CH<sub>2</sub> group may be substituted by SiH<sub>2</sub>, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1], which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared. A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 g 4-bromo-4'-butoxybiphenyl was treated dropwise with BuLi in Et<sub>2</sub>O at -50°, stirred at -50° for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at -50°, and stirred at -50° for 30 min and at room temperature for 48 h to give 4.6 g 4-propylidichlorosilyl-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et<sub>2</sub>O and reduced by LiAlH<sub>4</sub> at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1997:186975 CAPLUS Full-text  
 DOCUMENT NUMBER: 126:212053  
 ORIGINAL REFERENCE NO.: 126:41007a,41010a  
 TITLE: Preparation of bis[bi(aryl/heteroaryl)] compounds as  
 inhibitors of leukotriene biosynthesis  
 INVENTOR(S): Friesen, Richard; Dube, Daniel; Ducharme, Yves;  
 Lepine, Carole; Delorme, Daniel; Hamel, Pierre  
 PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.  
 SOURCE: Can. Pat. Appl., 80 pp.  
 CODEN: CPXXEB

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2169231	A1	19960816	CA 1996-2169231	19960209 <--
US 5576338	A	19961119	US 1995-388787	19950215 <--
PRIORITY APPLN. INFO.:			US 1995-388787	
OTHER SOURCE(S):	MARPAT	126:212053		A 19950215
GI				



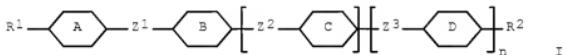
AB The title compds. Ar1Ar2-X-Ar3Ar4 [I; Ar1, Ar4 = (un)substituted 5-membered aromatic ring containing one O or S and 0-3 N; 5-membered aromatic ring containing 1-4 N, 6-membered aromatic ring containing 0-3 N; Ar2 = (un)substituted arylene = 6-membered aromatic ring containing 0-3 N; Ar3 = (un)substituted arylene = 10-membered bicyclic aromatic ring containing 0-3 N, 2H-1-benzopyran-2-one, 2H-2-thioxo-1-benzopyran; X = OCH2, CH2O, O, S, S(O), S(O)2], useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents, and also in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques, were prepared. Thus, reaction of 3-fluoro-5-(4-pyridyl)phenol with 7-bromomethyl-2-cyano-4-(3-furyl)quinoline in the presence of Cs2CO3 in DMF afforded the title compound II. In general, compds. I are effective at 0.1-10 mg/kg/day.

L6 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1996:724140 CAPLUS [Full-text](#)  
DOCUMENT NUMBER: 125:343103  
ORIGINAL REFERENCE NO.: 125:63853a,63856a  
TITLE: Optically active liquid crystal compound containing deuterium atoms for display device  
INVENTOR(S): Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi; Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa, Etsuo  
PATENT ASSIGNEE(S): Chisso Corp., Japan  
SOURCE: Eur. Pat. Appl., 88 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 735015	A2	19961002	EP 1996-300655	19960130 <--
EP 735015	A3	19970611		
R: CH, DE, FR, GB, IT, LI				
JP 08325174	A	19961210	JP 1995-347773	19951214 <--
PRIORITY APPLN. INFO.:			JP 1995-100105	
OTHER SOURCE(S):	MARPAT	125:343103		A 19950331
GI				



AB The title compound is represented by the formula I (R1, R2 = H, cyano, halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that  $\geq 1$  methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that  $\geq 1$  methylene group in the alkylene group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:616620 CAPLUS Full-text

DOCUMENT NUMBER: 125:175529

ORIGINAL REFERENCE NO.: 125:51521a,51524a

TITLE: Process for the stereospecific synthesis of azetidinones

INVENTOR(S): Thiruvgadadam, Tiruvettipuram K.; Tann, Chou Hong; Mcallister, Timothy L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 16 pp., Cont.-in-part of U. S. Ser. No. 179,008.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

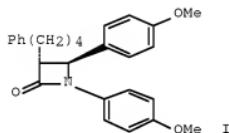
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5561227	A	19961001	US 1994-265466	19940623 <--
CA 2114007	A1	19930204	CA 1992-2114007	19920721 <--
CA 2114007	C	20051220		
AU 9223980	A	19930223	AU 1992-23980	19920721 <--
AU 658441	B2	19950413		
ZA 9205487	A	19930331	ZA 1992-5487	19920721 <--
EP 596015	A1	19940511	EP 1992-916790	19920721 <--
EP 596015	B1	19971001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06508637	T	19940929	JP 1992-502964	19920721 <--
JP 2525125	B2	19960814		
US 5306817	A	19940426	US 1992-962768	19921019 <--
LV 10429	B	19950820	LV 1992-550	19921229 <--
LT 3369	B	19950825	LT 1992-261	19921229 <--
US 6093812	A	20000725	US 1994-179008	19940107 <--
NO 9400221	A	19940121	NO 1994-221	19940121 <--
PRIORITY APPLN. INFO.:				
US 1991-734426 B2 19910723				
US 1991-734652 B2 19910723				
US 1992-962768 A3 19921019				
US 1994-179008 A2 19940107				
WO 1992-US5972 W 19920721				

OTHER SOURCE(S): CASREACT 125:275529; MARPAT 125:275529  
GI



AB Azetidinone derivs. are prepared stereospecifically by using a chiral oxazolidinone auxiliary. Thus, (R)-(+)-4-benzyl-2-oxazolidinone was acylated with Ph(CH<sub>2</sub>)<sub>4</sub>COCl, followed by aldol condensation with 4-MeOC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>, and cyclization with EtO<sub>2</sub>CN:NCO<sub>2</sub>Et-PBu<sub>3</sub> to give the azetidinone I.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 19961609921 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 125:261498

ORIGINAL REFERENCE NO.: 125:48571a,48574a

TITLE: Electro-optic liquid crystal display with reorientation layer

INVENTOR(S): Pausch, Axel; Poetsch, Eike; Tarumi, Kazuaki; Huth, Anja; Waechtler, Andreas; Beyer, Andreas; Schuler, Brigitte; Reiffenrath, Volker; Bremer, Matthias; Kompter, Michael

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 58 pp.

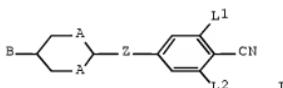
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623851	A1	19960808	WO 1996-EP239	19960122 <--
WI: CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19528106	A1	19960808	DE 1995-19528106	19950801 <--
DE 19528107	A1	19960919	DE 1995-19528107	19950801 <--
DE 19528104	A1	19970206	DE 1995-19528104	19950801 <--
DE 19528104	B4	20080515		
DE 19537802	A1	19970417	DE 1995-19537802	19951011 <--
EP 807153	A1	19971119	EP 1996-901748	19960122 <--
EP 807153	B1	20010328		
R: DE, GB, NL				
CN 1172496	A	19980204	CN 1996-191743	19960122 <--
CN 1125158	C	20031022		
JP 10512914	T	19981208	JP 1996-523208	19960122 <--
EP 995787	A2	20000426	EP 1999-124394	19960122 <--
R: DE, GB, NL				
EP 768359	A1	19970416	EP 1996-116026	19961007 <--
EP 768359	B1	20010502		
R: DE, GB				
US 6342279	B1	20020129	US 1996-728370	19961010 <--
JP 09125063	A	19970513	JP 1996-287312	19961011 <--
US 5993691	A	19991130	US 1997-875745	19970804 <--
US 6146720	A	20001114	US 1999-412566	19991005 <--
JP 2006283031	A	20061019	JP 2006-129630	20060508
JP 2006299273	A	20061102	JP 2006-129625	20060508
PRIORITY APPLN. INFO.:				
		DE 1995-19503507	A	19950203
		DE 1995-19509791	A	19950317
		DE 1995-19528104	A	19950801
		DE 1995-19528106	A	19950801
		DE 1995-19528107	A	19950801
		DE 1995-19537802	A	19951011
		EP 1996-901748	A3	19960122
		JP 1996-523208	A3	19960122
		WO 1996-EP239	W	19960122

OTHER SOURCE(S): MARPAT 125:261498  
GI

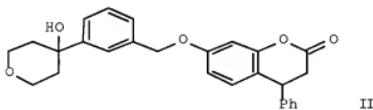
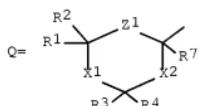
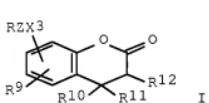


AB An electro-optic liquid crystal display has reorientation layer for reorienting the liquid crystals whose field has a significant component parallel to the liquid crystal layer. The reorientation layer contains a liquid-crystal medium with pos. dielec. anisotropy that contains at least one mesogenic compound with a 3,4,5-trifluorophenyl group and/or at least one mesogenic compound with a structural element having the formula I ( $A = O, CH$ ,

B = connection site; Z = -COO-, single bond; L1 = F, H when A is O; L2 = H, F). The liquid crystal composition is also claimed with Markush structures.  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1995:881320 CAPLUS Full-text  
 DOCUMENT NUMBER: 123:285781  
 ORIGINAL REFERENCE NO.: 123:51211a,51214a  
 TITLE: Preparation of (pyranylbenzyloxy)coumarins and analogs as leukotriene biosynthesis inhibitors  
 INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John  
 PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.  
 SOURCE: Can. Pat. Appl., 85 pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2125824	A1	19941224	CA 1994-2125824	19940614 <--
CA 2125824	C	20060711		
US 5424320	A	19950613	US 1993-81528	19930623 <--
			US 1993-81528	A 19930623
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S):	CASREACT 123:285781; MARPAT 123:285781			
GI				



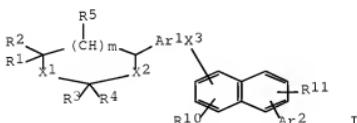
AB Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2,R4 = H, alkyl; R1R2 = O; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, SOO-2, CH2; X2 = O, S, CH2, etc.; X3 = O, SOO-2, OCH2, CH2O, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(HO)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl

bromide (preparation given) and the product cyclocondensed with Ph3P:CH<sub>2</sub>CO<sub>2</sub>Me to give title compound II.

L6 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1995:14536 CAPLUS Full-text  
 DOCUMENT NUMBER: 122:72018  
 ORIGINAL REFERENCE NO.: 122:13491a,13494a  
 TITLE: Heteroaryl naphthalenes as inhibitors of leukotriene biosynthesis  
 INVENTOR(S): Girard, Yves; Delorme, Daniel; Fortin, Rejean; Dube, Daniel; Hamel, Pierre; Lepine, Carol; Ducharme, Yves  
 PATENT ASSIGNEE(S): Merck Frost Canada, Inc., Can.  
 SOURCE: U.S., 39 pp. Cont.-in-part of U.S. Ser. No. 906,067, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5308852	A	19940503	US 1992-936807	19920827 <--
CA 2099061	A1	19931230	CA 1993-2099061	19930623 <--
CA 2099061	C	20030819		
EP 579304	A1	19940119	EP 1993-201829	19930624 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9304623	A	19931222	ZA 1993-4623	19930628 <--
AU 9341569	A	19940106	AU 1993-41569	19930628 <--
WO 9400444	A1	19940106	WO 1993-CA271	19930628 <--
W: BB, BG, BR, BY, CZ, FI, HU, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RU: BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CN 1087907	A	19940615	CN 1993-109518	19930628 <--
JP 06087847	A	19940329	JP 1993-185527	19930629 <--
JP 07116173	B	19951213		
PRIORITY APPLN. INFO.:			US 1992-906067	B2 19920629
			US 1992-936807	A 19920827

OTHER SOURCE(S): MARPAT 122:72018  
 GI



AB Compds. I [R1, R5 = H, OH, lower alkyl, lower alkoxy; R2 = H, lower alkyl, or together with R1 forms :O; R3 = H, lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, or R1 and R3 may join to form mono-oxa, monocarbon bridge; R4, R6, R13 = H, lower alkyl; R7 = H, OH, lower alkyl, lower alkoxy, etc.; R8

= H, halo, lower alkyl, OH, lower alkoxy, CF<sub>3</sub>, CN, COR13; R9 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, etc.; R10, R11 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, lower alkoxy, etc.; X1, X2 = O, CR<sub>6</sub>2 (one but not both of X1 or X2 is O); X3 = C(R<sub>6</sub>)<sub>20</sub>, OC(R<sub>6</sub>)<sub>2</sub>; Ar1 = arylene-(R<sub>8</sub>)<sub>2</sub> (arylene = phenylene, pyridylene, thiaylene); Ar2 = aryl-(R<sub>9</sub>)<sub>2</sub> (aryl = 5-membered aromatic ring with 1 O or S and 0-3 N, 5-membered aromatic ring with 1-4 N, 6-membered aromatic ring with 0-3 N, 2- or 4-pyranone, etc., with provisos)] are inhibitors of leukotriene biosynthesis. These compds. are useful as antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis and allograft rejection, and in preventing the formation of atherosclerotic plaques. Preparation of a large number of I and of intermediates therefor is included.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:298482 CAPLUS Full-text

DOCUMENT NUMBER: 120:298482

ORIGINAL REFERENCE NO.: 120:52604h,52605a

TITLE: Carbostyryl derivatives and salts thereof, anti-arrhythmic agents containing them, and their preparation

INVENTOR(S): Tabusa, Fujio; Nagami, Kazuyoshi; Tsutsui, Hironori

PATENT ASSIGNEE(S): Higuchi, Yoshinari, Japan

SOURCE: Pat. Specif. (Aust.), 148 pp.

CODEN: ALXXAP

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

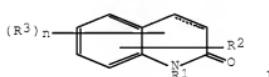
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 639529	B2	19930729	AU 1991-70939	19910211 <--
AU 9170939	A	19910509		

PRIORITY APPLN. INFO.: AU 1991-70939

OTHER SOURCE(S): MARPAT 120:298482

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AB Carbostyryls and dihydro derivs. I [R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl, carboxyalkyl, phenylalkoxyalkyl, amidoalkyl, saturated heterocyclycarbonylalkyl; R2 = N3, azidocarbonyl, phthalimido, pyrrolidinyl, pyridyl, various (un)substituted NH<sub>2</sub> groups, piperidinyl, quinuclidinyl; R3 = alkyl, haloalkyl, alkoxy, OH, halo, CO<sub>2</sub>H, Ph, phenylalkoxy, alkenyloxy, alkanoylalkoxy, alkylaminocarbonylalkoxy; n = 0, 1, 2; optional 3,4-double bond], some of which are novel and/or prepared, are useful as antiarrhythmics. For example, cyclization of 2-[2-(4-benzyl-1-piperidinyl)acetyl]amino-3-

methylbenzaldehyde by NaOEt in refluxing EtOH gave I [R1 = H, R2 = 8-Me, R3 = 3-(4-benzyl-1-piperidinyl);  $\Delta$ 3 present], isolated as the HCl salt. Various I were active at 3-300  $\mu$ mol doses when tested against elec.-stimulated contractions of isolated feline cardiac muscle samples. Approx. 170 I (free bases and/or salts) are listed with phys. data, and antiarrhythmic test data are given for 27 compds.

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